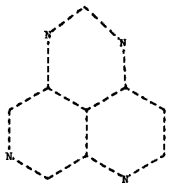
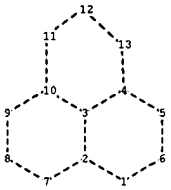
C:\STNEXP4\QUERIES\10016694.str

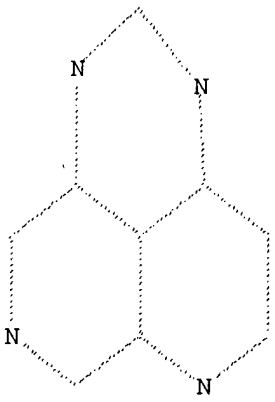




ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 4-13 5-6 7-8 8-9 9-10 10-11
11-12 12-13
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 4-13 5-6 7-8 8-9 9-10 10-11
11-12 12-13

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom

```
=> d his

     (FILE 'HOME' ENTERED AT 17:45:53 ON 12 SEP 2003)

     FILE 'REGISTRY' ENTERED AT 17:45:58 ON 12 SEP 2003
L1                STRUCTURE UPLOADED
L2                QUE L1
L3              0 S L2
L4              3 S L2 SSS FUL

     FILE 'CAPLUS' ENTERED AT 17:46:57 ON 12 SEP 2003
L5              1 S L4

=> d l2
L2 HAS NO ANSWERS
L1                STR
```



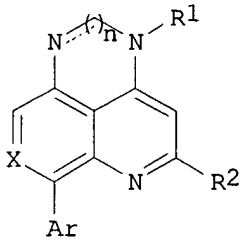

```
Structure attributes must be viewed using STN Express query preparation.
L2                QUE  ABB=ON  PLU=ON  L1


=> d ibib abs hitstr
```

applicants

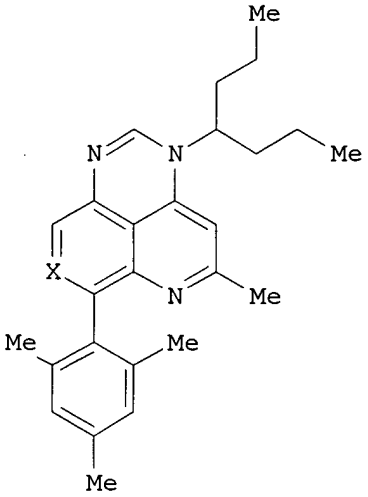
L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:391714 CAPLUS
DOCUMENT NUMBER: 136:386132
TITLE: Preparation of fused pyrimidonaphthyridines and pyrimidinoquinolines as CRF receptor antagonists
INVENTOR(S): Haddach, Mustapha; Lanier, Marion C.; Huang, Charles Q.; McCarthy, James R.
PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040480	A2	20020523	WO 2001-US47919	20011102
WO 2002040480	A3	20030515		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002039589	A5	20020527	AU 2002-39589	20011102
US 2002151557	A1	20021017	US 2001-16694	20011102
EP 1341793	A2	20030910	EP 2001-987366	20011102
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003001997	A	20030502	NO 2003-1997	20030502

PRIORITY APPLN. INFO.: US 2000-245821P P 20001103
WO 2001-US47919 W 20011102
OTHER SOURCE(S): MARPAT 136:386132
GI



I



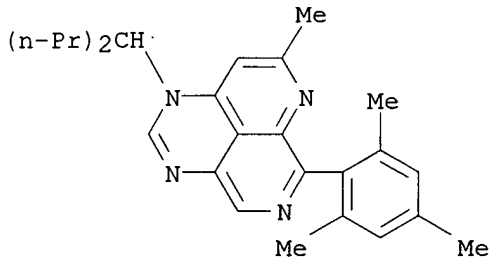
II

AB Title compds. I [X = N, CR3; R1 = CHR4R5; R2 = alkyl; R3 H, alkyl; R4 = H, alkyl, mono- or di(cycloalkyl)methyl, cycloalkyl, alkenyl, hydroxy-alkyl, alkylcarbonyloxy-alkyl, etc.; R5 = alkyl, mono- or di(cycloalkyl)methyl, Ar1CH2, alkenyl, alkyloxy-alkyl, hydroxy-alkyl, thienylmethyl, furanylmethyl, alkylthio-alkyl, etc. or R4-5 taken together with the carbon atom to which they are bonded form cycloalkyl; Ar = (un)substituted Ph, arom. heterocycle; Ar1 = (un)substituted Ph, pyridinyl] were prepd. For instance, 3-amino-2-(2,4,6-trimethylphenyl)pyridine (prepn. given) was reacted with Et acetoacetate (m-xylene, pTSA, reflux, -H2O) to give 4-hydroxy-2-methyl-8-(2,4,6-trimethylphenyl)-1,7-naphthyridine. This intermediate was converted to the chloride (POCl3) and nitrated (5-position, HNO3, H2SO4) and the product reacted with 4-heptylamine and subsequently reduced (MeOH, H2-Pd/C, 35 psi) to afford 4-(heptan-4-ylamino)-2-methyl-5-amino-8-(2,4,6-trimethylphenyl)-1,7-naphthyridine. Treatment of this with triethylorthoformate (reflux, 16 h) afforded II. CRF receptor antagonists of this invention had Ki < 10 .mu.M. I are useful in the treatment of a variety of disorders, including disorders manifesting hypersecretion of CRF in a warm-blooded animals, such as stroke.

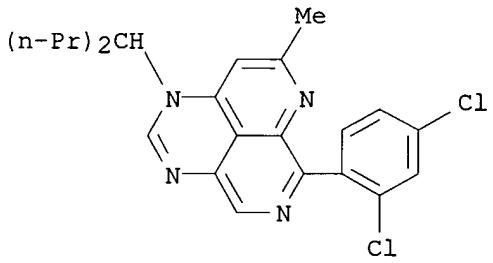
IT **428500-28-1P 428500-29-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; prepn. of fused pyrimidonaphthyridines and pyrimidinoquinolines as CRF receptor antagonists)

RN 428500-28-1 CAPLUS

CN 1H-Pyrimido[4,5,6-de][1,7]naphthyridine, 8-methyl-1-(1-propylbutyl)-6-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



RN 428500-29-2 CAPLUS
CN 1H-Pyrimido[4,5,6-de][1,7]naphthyridine, 6-(2,4-dichlorophenyl)-8-methyl-1-(1-propylbutyl)- (9CI) (CA INDEX NAME)



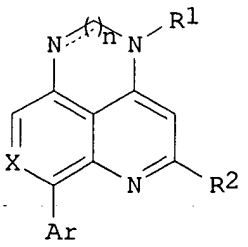
```
=> d his

     (FILE 'HOME' ENTERED AT 17:45:53 ON 12 SEP 2003)

     FILE 'REGISTRY' ENTERED AT 17:45:58 ON 12 SEP 2003
L1                STRUCTURE UPLOADED
L2                QUE L1
L3              0 S L2
L4              3 S L2 SSS FUL

     FILE 'CAPLUS' ENTERED AT 17:46:57 ON 12 SEP 2003
L5              1 S L4
                  SELECT RN L5 1-

     FILE 'REGISTRY' ENTERED AT 17:47:50 ON 12 SEP 2003
L6             55 S E1-55
L7             19 S L6 AND NRS=1
L8             36 S L6 NOT L7

     FILE 'CAPLUS' ENTERED AT 17:48:37 ON 12 SEP 2003
L9          15263 S L8
L10           ANALYZE L9 1- RN HIT :      36 TERMS

     FILE 'REGISTRY' ENTERED AT 17:53:58 ON 12 SEP 2003
L11             1 S 9015-71-8
L12             1 S 141-97-9
L13            34 S L8 NOT (L11 OR L12)

     FILE 'CAPLUS' ENTERED AT 17:54:49 ON 12 SEP 2003
L14             3 S L13
L15             3 S L5 OR L14

=> d bib abs hitstr l15 1-3
```

applicants

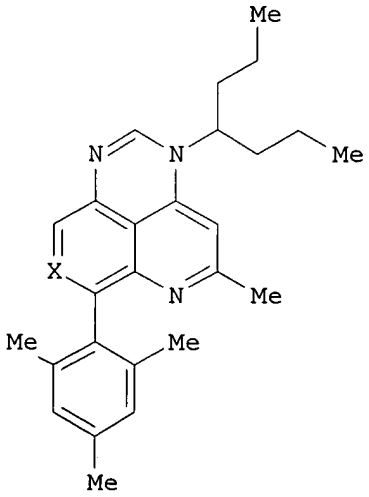
L15 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:391714 CAPLUS
DN 136:386132
TI Preparation of fused pyrimidonaphthyridines and pyrimidinoquinolines as CRF receptor antagonists
IN Haddach, Mustapha; Lanier, Marion C.; Huang, Charles Q.; McCarthy, James R.
PA Neurocrine Biosciences, Inc., USA
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002040480	A2	20020523	WO 2001-US47919	20011102
	WO 2002040480	A3	20030515		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002039589	A5	20020527	AU 2002-39589	20011102
	US 2002151557	A1	20021017	US 2001-16694	20011102
	EP 1341793	A2	20030910	EP 2001-987366	20011102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	NO 2003001997	A	20030502	NO 2003-1997	20030502
PRAI	US 2000-245821P	P	20001103		
	WO 2001-US47919	W	20011102		

OS MARPAT 136:386132
GI



I



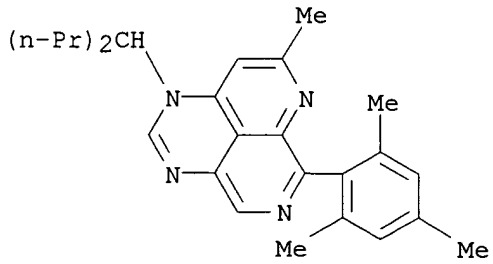
II

AB Title compds. I [X = N, CR3; R1 = CHR4R5; R2 = alkyl; R3 H, alkyl; R4 = H, alkyl, mono- or di(cycloalkyl)methyl, cycloalkyl, alkenyl, hydroxy-alkyl, alkylcarbonyloxy-alkyl, etc.; R5 = alkyl, mono- or di(cycloalkyl)methyl, Ar1CH2, alkenyl, alkyloxy-alkyl, hydroxy-alkyl, thienylmethyl, furanylmethyl, alkylthio-alkyl, etc. or R4-5 taken together with the carbon atom to which they are bonded form cycloalkyl; Ar = (un)substituted Ph, arom. heterocycle; Ar1 = (un)substituted Ph, pyridinyl] were prepd. For instance, 3-amino-2-(2,4,6-trimethylphenyl)pyridine (prepn. given) was reacted with Et acetoacetate (m-xylene, pTSA, reflux, -H2O) to give 4-hydroxy-2-methyl-8-(2,4,6-trimethylphenyl)-1,7-naphthyridine. This intermediate was converted to the chloride (POCl3) and nitrated (5-position, HNO3, H2SO4) and the product reacted with 4-heptylamine and subsequently reduced (MeOH, H2-Pd/C, 35 psi) to afford 4-(heptan-4-ylamino)-2-methyl-5-amino-8-(2,4,6-trimethylphenyl)-1,7-naphthyridine. Treatment of this with triethylorthoformate (reflux, 16 h) afforded II. CRF receptor antagonists of this invention had Ki < 10 .mu.M. I are useful in the treatment of a variety of disorders, including disorders manifesting hypersecretion of CRF in a warm-blooded animals, such as stroke.

IT **428500-28-1P 428500-29-2P 428500-30-5P**
428500-31-6P 428500-32-7P 428500-33-8P
428500-34-9P 428500-35-0P 428500-36-1P
428500-37-2P 428500-38-3P 428500-39-4P
428500-40-7P 428500-41-8P 428500-42-9P
428500-43-0P 428500-44-1P 428500-45-2P
428500-46-3P 428500-47-4P 428500-48-5P
428500-49-6P 428500-50-9P 428520-25-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; prepn. of fused pyrimidonaphthyridines and pyrimidinoquinolines as CRF receptor antagonists)

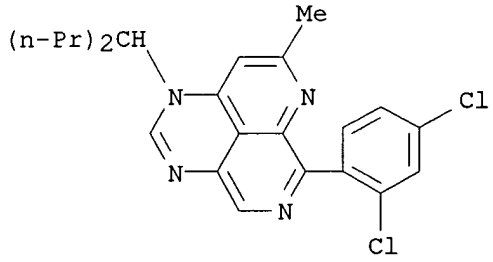
RN 428500-28-1 CAPLUS

CN 1H-Pyrimido[4,5,6-de][1,7]naphthyridine, 8-methyl-1-(1-propylbutyl)-6-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

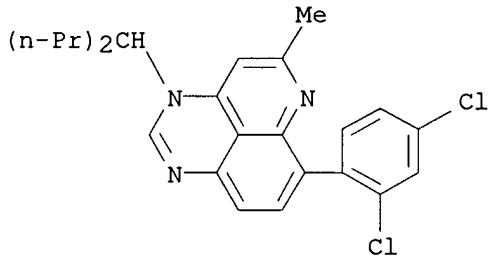


RN 428500-29-2 CAPLUS

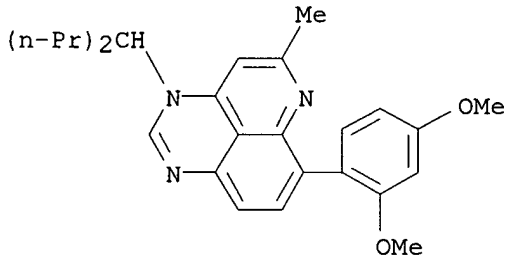
CN 1H-Pyrimido[4,5,6-de][1,7]naphthyridine, 6-(2,4-dichlorophenyl)-8-methyl-1-(1-propylbutyl)- (9CI) (CA INDEX NAME)



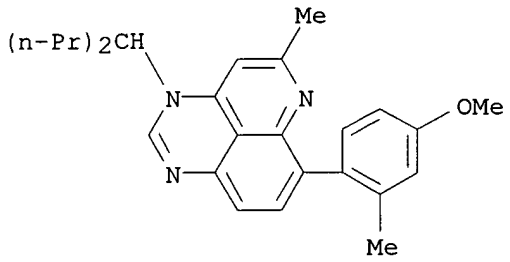
RN 428500-30-5 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-(2,4-dichlorophenyl)-5-methyl-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)



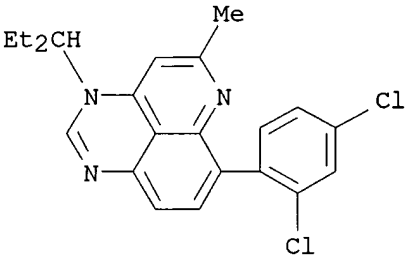
RN 428500-31-6 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-(2,4-dimethoxyphenyl)-5-methyl-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)



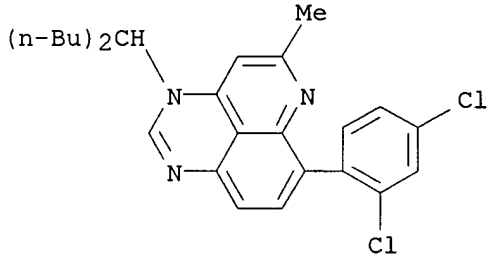
RN 428500-32-7 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-(4-methoxy-2-methylphenyl)-5-methyl-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)



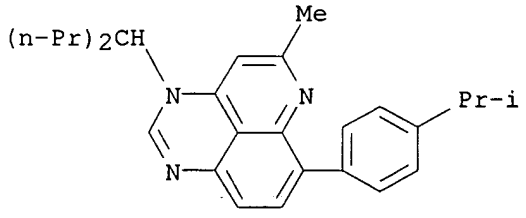
RN 428500-33-8 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-(2,4-dichlorophenyl)-3-(1-ethylpropyl)-5-methyl- (9CI) (CA INDEX NAME)



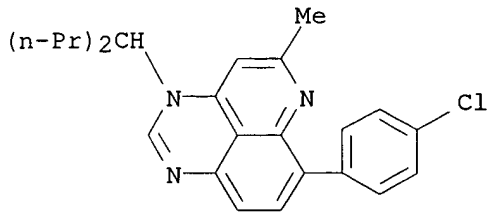
RN 428500-34-9 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 3-(1-butylpentyl)-7-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)



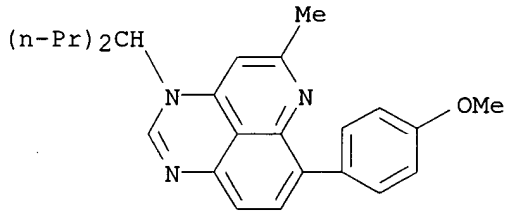
RN 428500-35-0 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 5-methyl-7-[4-(1-methylethyl)phenyl]-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)



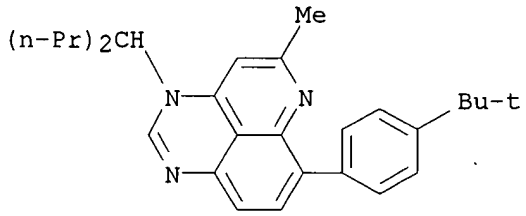
RN 428500-36-1 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-(4-chlorophenyl)-5-methyl-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)



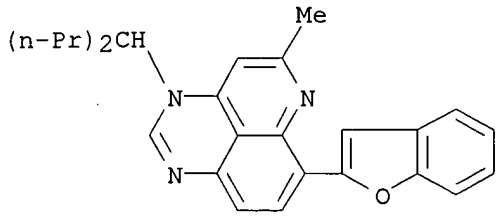
RN 428500-37-2 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-(4-methoxyphenyl)-5-methyl-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)



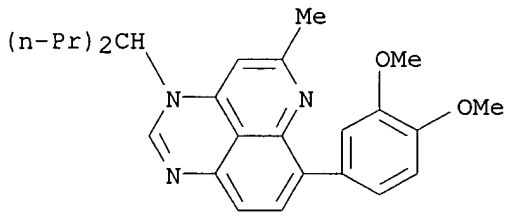
RN 428500-38-3 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-[4-(1,1-dimethylethyl)phenyl]-5-methyl-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)



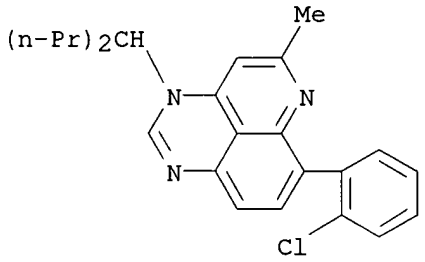
RN 428500-39-4 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-(2-benzofuranyl)-5-methyl-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)



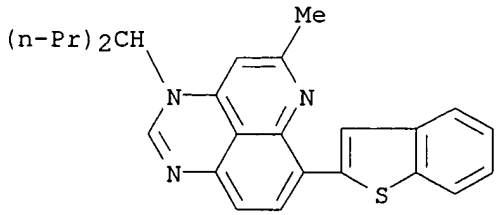
RN 428500-40-7 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-(3,4-dimethoxyphenyl)-5-methyl-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)



RN 428500-41-8 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-(2-chlorophenyl)-5-methyl-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)

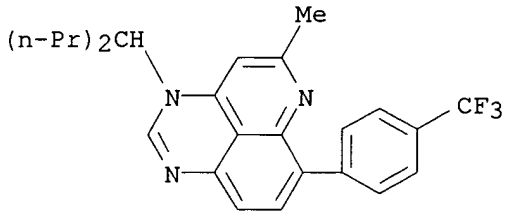


RN 428500-42-9 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-benzo[b]thien-2-yl-5-methyl-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)

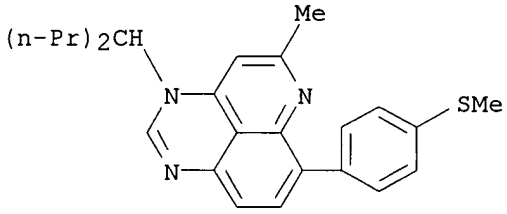


RN 428500-43-0 CAPLUS

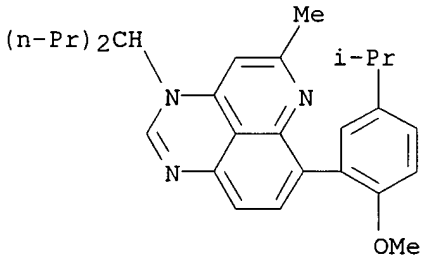
CN 3H-Pyrido[4,3,2-de]quinazoline, 5-methyl-3-(1-propylbutyl)-7-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



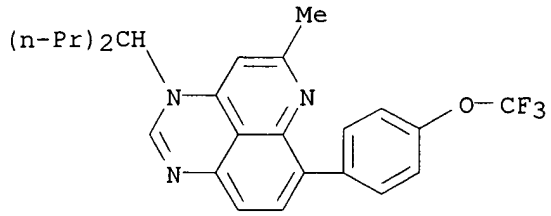
RN 428500-44-1 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 5-methyl-7-[4-(methylthio)phenyl]-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)



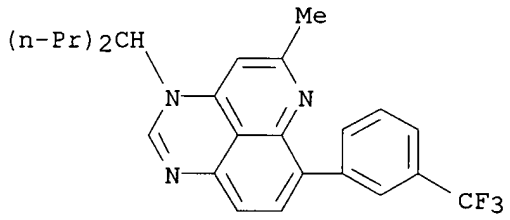
RN 428500-45-2 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-[2-methoxy-5-(1-methylethyl)phenyl]-5-methyl-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)



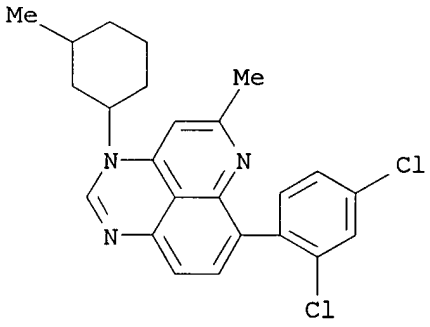
RN 428500-46-3 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 5-methyl-3-(1-propylbutyl)-7-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



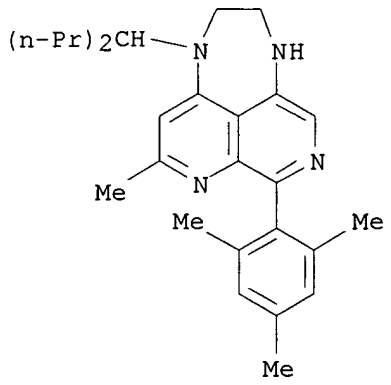
RN 428500-47-4 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 5-methyl-3-(1-propylbutyl)-7-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



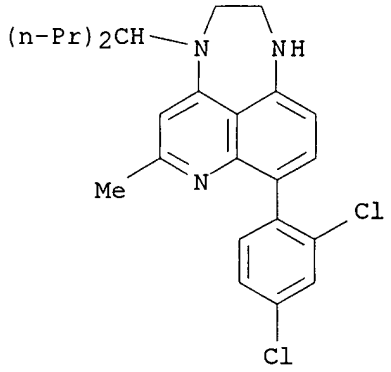
RN 428500-48-5 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-(2,4-dichlorophenyl)-5-methyl-3-(3-methylcyclohexyl)- (9CI) (CA INDEX NAME)



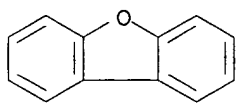
RN 428500-49-6 CAPLUS
CN 1,4-Diazepino[5,6,7-de][1,7]naphthyridine, 1,2,3,4-tetrahydro-9-methyl-1-(1-propylbutyl)-7-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

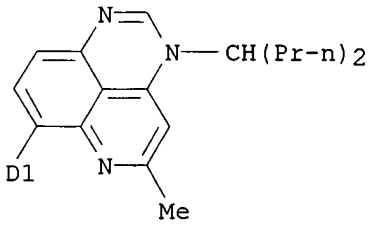


RN 428500-50-9 CAPLUS
CN Pyrido[4,3,2-ef][1,4]benzodiazepine, 10-(2,4-dichlorophenyl)-4,5,6,7-tetrahydro-2-methyl-4-(1-propylbutyl)- (9CI) (CA INDEX NAME)



RN 428520-25-6 CAPLUS
CN 3H-Pyrido[4,3,2-de]quinazoline, 7-(dibenzofuranyl)-5-methyl-3-(1-propylbutyl)- (9CI) (CA INDEX NAME)

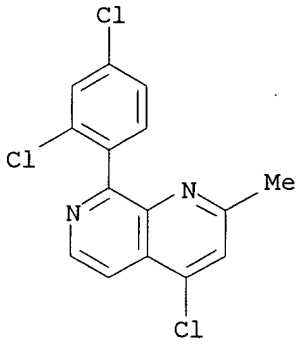




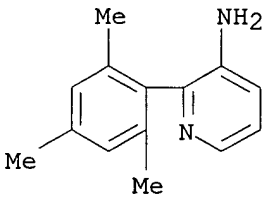
IT **212139-12-3P**, 4-Chloro-2-methyl-8-(2,4-dichlorophenyl)-1,7-

naphthyridine **344293-84-1P**, 3-Amino-2-(2,4,6-trimethylphenyl)pyridine **428500-51-0P**, 3-Amino-2-(2,4-dichlorophenyl)pyridine **428500-52-1P**, 4-Hydroxy-2-methyl-8-(2,4,6-trimethylphenyl)-1,7-naphthyridine **428500-53-2P**, 4-Hydroxy-2-methyl-8-(2,4-dichlorophenyl)-1,7-naphthyridine **428500-54-3P**, 4-Chloro-2-methyl-8-(2,4,6-trimethylphenyl)-1,7-naphthyridine **428500-55-4P**, 4-Chloro-2-methyl-5-nitro-8-(2,4,6-trimethylphenyl)-1,7-naphthyridine **428500-56-5P**, 4-(Heptan-4-ylamino)-2-methyl-5-nitro-8-(2,4,6-trimethylphenyl)-1,7-naphthyridine **428500-57-6P**, 4-(Heptan-4-ylamino)-2-methyl-5-amino-8-(2,4,6-trimethylphenyl)-1,7-naphthyridine **428500-58-7P**, 4-(Heptan-4-ylamino)-2-methyl-5-amino-8-(2,4-dichlorophenyl)-1,7-naphthyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of fused pyrimidonaphthyridines and pyrimidinoquinolines as CRF receptor antagonists)

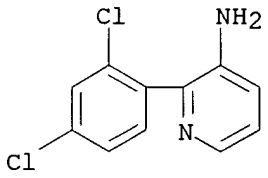
RN 212139-12-3 CAPLUS
CN 1,7-Naphthyridine, 4-chloro-8-(2,4-dichlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)



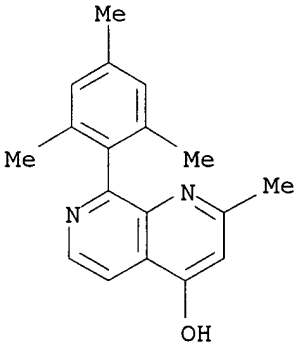
RN 344293-84-1 CAPLUS
CN 3-Pyridinamine, 2-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



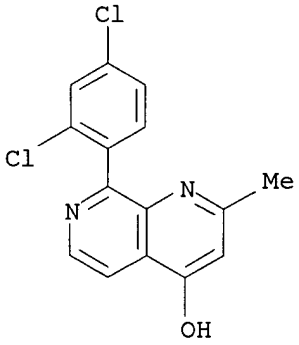
RN 428500-51-0 CAPLUS
CN 3-Pyridinamine, 2-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



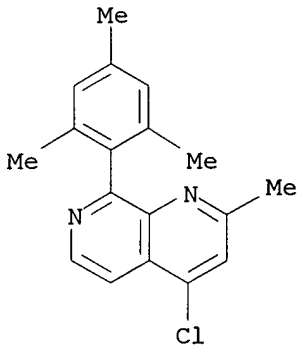
RN 428500-52-1 CAPLUS
CN 1,7-Naphthyridin-4-ol, 2-methyl-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



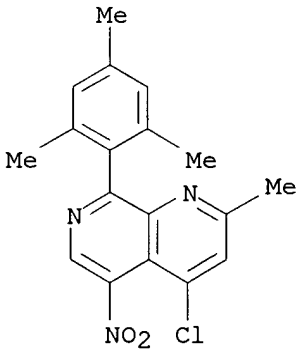
RN 428500-53-2 CAPLUS
CN 1,7-Naphthyridin-4-ol, 8-(2,4-dichlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)



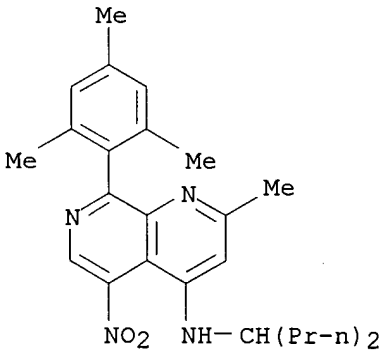
RN 428500-54-3 CAPLUS
CN 1,7-Naphthyridine, 4-chloro-2-methyl-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



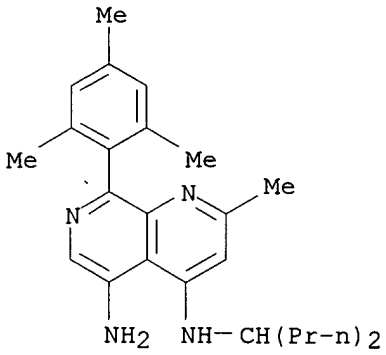
RN 428500-55-4 CAPLUS
CN 1,7-Naphthyridine, 4-chloro-2-methyl-5-nitro-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



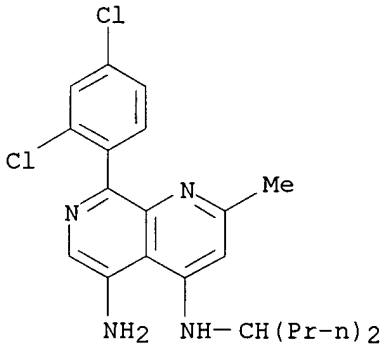
RN 428500-56-5 CAPLUS
CN 1,7-Naphthyridin-4-amine, 2-methyl-5-nitro-N-(1-propylbutyl)-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



RN 428500-57-6 CAPLUS
CN 1,7-Naphthyridine-4,5-diamine, 2-methyl-N4-(1-propylbutyl)-8-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



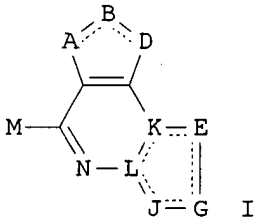
RN 428500-58-7 CAPLUS
CN 1,7-Naphthyridine-4,5-diamine, 8-(2,4-dichlorophenyl)-2-methyl-N4-(1-propylbutyl)- (9CI) (CA INDEX NAME)



L15 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:435078 CAPLUS
DN 135:61346
TI Preparation of fused heterotricyclic compounds as antagonists against corticotropin-releasing factor receptor
IN Hibi, Shigeki; Hoshino, Yorihisa; Yoshiuchi, Tatsuya; Shin, Kogyoku; Kikuchi, Kouichi; Soejima, Motohiro; Tabata, Mutsuko; Takahashi, Yoshinori; Shibata, Hisashi; Hida, Takayuki; Hirakawa, Tetsuya; Ino, Mitsuhiro
PA Eisai Co., Ltd., Japan; et al.
SO PCT Int. Appl., 255 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001042247	A1	20010614	WO 2000-JP8811	20001213
	W: AU, BR, CA, CN, HU, IL, KR, MX, NO, NZ, RU, US, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	JP 2001233876	A2	20010828	JP 2000-375811	20001211
	AU 2001020235	A5	20010618	AU 2001-20235	20001213
	EP 1238979	A1	20020911	EP 2000-983479	20001213
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	US 2003078277	A1	20030424	US 2002-148836	20020605
PRAI	JP 1999-352553	A	19991213		
	WO 2000-JP8811	W	20001213		

OS MARPAT 135:61346
GI



I

AB Compds. such as pyrazolo[1,5-a]pyrrolo[3,2-e]pyrimidine, dipyrazolo[1,5-a:4,3-e]pyrimidine, pyrrolo[3,2-c]quinoline, and pyrrolo[3,2-c][1,7]naphthyridine derivs. represented by general formula [I; A, B, D = N, O, S, (CR1R2)m, CO, CS, (un)substituted NH, SO, SO2 (wherein m = 0-4; R1, R2 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, C3-8 cycloalkyl, etc.); E, G = N, O, S, (CR6R7)p, CO, CS, (un)substituted NH, SO, SO2 (wherein R6, R7 = H, C1-6 alkyl, optionally C1-4 alkyl-substituted C3-5 cycloalkyl, optionally substituted aryl, or heteroaryl, etc.; p = 0, 1,2); K, L = C, N; the ring formed by K, E, G, J, and L represents an (un)satd. 5- or 6-membered ring; M = M = H, halo, cyano, (un)substituted C1-6 alkyl, (un)substituted NH, OR13, S(O)qR14, (un)substituted C2-10 alkenyl or alkynyl, (un)substituted C1-6 alkoxy, C1-6 alkylthio, aryl, or heteroaryl (wherein R13 = H, optionally substituted C1-6 alkyl, C1-4 alkylacyl, optionally substituted aryl-C1-4

alkyl or heteroaryl-C1-4 alkyl, or aryl-heteroaryl; R14 = C1-6 alkyl optionally substituted aryl-C1-4 alkyl, aryl, heteroaryl-C1-4 alkyl, or heteroaryl; q = 0, 1, 2); the solid line accompanied by a dotted line represents a single or a double bond] or pharmacol. acceptable salts thereof or their hydrates, which are also adenylate cyclase inhibitors, are prepd. These compds. are useful for the prevention and/treatment of diseases related to corticotropin-releasing factor (CRF) and/or corticotropin-releasing factor receptor. The above diseases include depression, mania, child abuse due to depression, depression after child birth, anxiety, general anxiety, panic disorders, phobia, obsessive-compulsive disorders, post-traumatic-stress disorder, autism, emotional disorders, emotional disturbance, bipolar disorder, , schizophrenia, peptic ulcer, irritable bowel syndrome, ulcerative colitis, Crohn's disease, diarrhea, constipation, intestinal functional abnormality accompanied by stress, neurol. vomiting, Alzheimer's disease, neurodegenerative disease, multiple infarction dementia, and senile dementia, neurol. appetite depression, eating disorders, obesity, diabetes, alc. dependence, drug preference, alc. or drug withdrawal symptom. They also include insomnia, migraine headache, stress headache, muscular stress headache, ischemic nerve disorders, excitatory toxin nerve disorders, stroke, progressive supranuclear paralysis, amyotrophic lateral sclerosis, multiple sclerosis, muscle spasm, chronic fatigue syndrome, neurol. social growth-retardation, epilepsy, head injury, spinal injury, writer's cramp, torticollis spastica, cervicobrachial syndrome, Meniere's syndrome, vegetative dystonia, hair loss, neuropathy, hypertension, cardiovascular disorders, tachycardia, congestive heart attack, hyperpnea syndrome, bronchial asthma, apnea syndrome, sudden infant death syndrome, inflammatory disorders, pain, allergy, impotence, menopausal syndrome, fertilization disorder, sterility, cancer, immune function disorders during HIV infection or caused by stress, hemorrhagic stress, Cushing's disease, thyroid gland function abnormality, meningitis, acromegaly, incontinence, and osteoporosis, etc. Thus, a soln. of 7-chloro-6-(2-chloroethyl)-3-mesityl-2,5-dimethylpyrazolo[1,5-a]pyrimidine and 3-aminopentane in Me Et ketone was refluxed for 1 h to give, after treatment with HCl in Et2O, 8-(1-ethylpropyl)-3-mesityl-2,5-dimethyl-7,8-dihydro-6H-pyrazolo[1,5-a]pyrrolo[3,2-e]pyrimidine hydrochloride (II). II showed IC50 of 100 nM for inhibiting the binding of [125I]sauvagine to human CRF receptor expressed in HEK 293 cells and showed IC50 of 900 nM against adenylic acid cyclase.

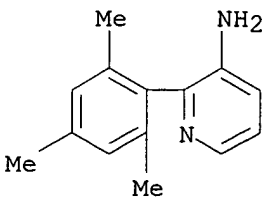
IT **344293-84-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of fused heterotricyclic compds. as antagonists against corticotropin-releasing factor receptor for preventives or remedies for CRF and/or CRF receptor-related diseases)

RN 344293-84-1 CAPLUS

CN 3-Pyridinamine, 2-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

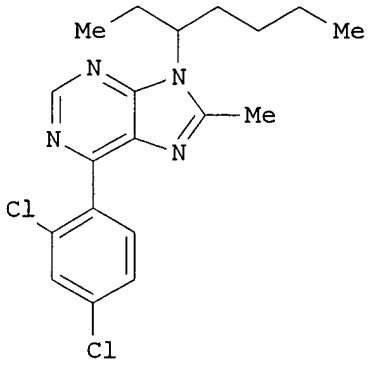


RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:568830 CAPLUS
DN 129:202953
TI Preparation of bicyclic nitrogen-containing heterocycles as CRF receptor antagonists and methods relating thereto
IN McCarthy, James R.
PA Neurocrine Biosciences, Inc., USA
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9835967	A2	19980820	WO 1998-US2932	19980217
	WO 9835967	A3	19981210		
	W: AL, AM, AT, AU, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9862795	A1	19980908	AU 1998-62795	19980217
	EP 970082	A2	20000112	EP 1998-905094	19980217
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2001511813	T2	20010814	JP 1998-535982	19980217
	US 6352990	B1	20020305	US 1999-415503	19991008
	US 2002049207	A1	20020425	US 2001-995159	20011127
PRAI	US 1997-36414P	P	19970218		
	US 1997-36415P	P	19970218		
	US 1997-36416P	P	19970218		
	US 1997-36421P	P	19970218		
	US 1997-36422P	P	19970218		
	US 1997-36423P	P	19970218		
	WO 1998-US2932	W	19980217		
	US 1999-415503	A3	19991008		

OS MARPAT 129:202953
GI



I

AB A variety of 5/6 and 6/6 bicyclic nitrogen-contg. heterocyclic compds. are disclosed, for use as CRF receptor antagonists. The compds. are useful for treatment of a variety of disorders, including those manifesting hypersecretion of CRF in a warm-blooded animal, such as stroke. The heterocycles include pyrrolopyrimidines, pyrrolotriazines, imidazotriazines, purines, benzimidazoles, imidazopyridines, pyridopyridazines, pyridazinopyrimidines, pyrimidinopyrimidines, and naphthyridines. For instance, Pd(PPh3)4-catalyzed coupling of 5-amino-4,6-dichloropyrimidine at its 4-position with 2,4-dichlorobenzeneboronic acid (40%), coupling of the product with 3-aminoheptane at the 6-position, and cyclization of the diamine product with tri-Et orthoacetate, gave the purine deriv. I. The latter had a Ki of 8.8 nM for inhibition of CRF specific binding in vitro.

IT **212139-12-3P**, 2-Methyl-4-chloro-8-(2,4-dichlorophenyl)-1,7-naphthyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of bicyclic nitrogen heterocycles as CRF receptor antagonists)

RN 212139-12-3 CAPLUS

CN 1,7-Naphthyridine, 4-chloro-8-(2,4-dichlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)